-54-

## Claims

## Use of a compound according to Formula (I)

5

:34:

the pharmaceutically acceptable acid or base addition salts thereof, the stereochemically isomeric forms thereof, the tautomeric forms thereof and the N-oxide forms thereof, for the manufacture of a medicament for use in the prevention and/or treatment of central nervous system disorders, wherein:

10

A=B is C=O, C=N-R<sup>6</sup> wherein R<sup>6</sup> is hydrogen or cyano, C=S, S=O, SO<sub>2</sub> and C=CR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> each independently are hydrogen, nitro or alkyl;

X is a covalent bond, -CH<sub>2</sub>- or CH<sub>2</sub>CH<sub>2</sub>-;

15

R<sup>1</sup> is hydrogen, hydroxy, alkyloxy, alkylcarbonyloxy, Ar-oxy, Het-oxy, Ar-carbonyloxy, Het-carbonyloxy, Ar-alkyloxy, Het-alkyloxy, alkyl, polyhaloalkyl, alkyloxyalkyl, Ar-alkyl, Het-alkyl, Ar, Het, thio, alkylthio, Ar-thio, Het-thio or NR<sup>9</sup>R<sup>10</sup> wherein R<sup>9</sup> and R<sup>10</sup> each independently are hydrogen, alkyl, Ar, Ar-alkyl, Het, Het-alkyl, Arcarbonyl, alkylcarbonyl, Het-carbonyl or alkyloxycarbonylalkyl; or A=B and R<sup>1</sup> together form an optionally substituted semi-aromatic or aromatic carbocyclic or heterocyclic radical Het<sup>2</sup> or Het<sup>3</sup>;

20

R<sup>2</sup> is hydroxy, alkyloxy, alkylcarbonyloxy, phenyloxy, phenylcarbonyloxy, halo, cyano, alkyl, polyhaloalkyl, alkyloxyalkyl, formyl, carboxy, alkylcarbonyl, alkyloxycarbonyl, aminocarbonyl, mono- or dialkylaminocarbonyl, phenyl, nitro, amino, mono- or dialkyl-amino, thio or alkylthio;

25

R<sup>3</sup> is alkyl, Ar, Ar-alkyl, Ar-alkenyl, Ar-carbonyl, Het, Het-alkyl, Het-alkenyl or Het-carbonyl;

R4, R5 each independently is hydrogen, alkyl, carbox y, aminocarbonyl, alkyloxycarbonyl, halo or hydroxyalkyl; is an integer equal to zero, 1, 2 or 3; alkyl is a straight or branched saturated hydrocarbon radical having from I to 6 carbon atoms; or is a cyclic saturated hydrocarbon (cycloalkyl) radical having from 3 to 7 carbon atoms; or is a cyclic saturated hydrocarbon radical having from 3 to 7 carbon atoms attached to a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms; wherein each carbon atom may be optionally 10 substituted with amino, nitro, thio, hydroxy, oxo, cyano, formyl or carboxy; alkenyl is an alkyl radical having one or more double bonds; is a homocycle selected from the group of phenyl and naphthyl, each optionally substituted with one or more substituents, each substituent 15 independently selected from the group of hydroxy, alkyloxy, alkylcarbonyloxy, phenyloxy, phenylcarbonyloxy, polyhaloalkyloxy, halo, cyano, alkyl, polyhaloalkyl, alkyloxyalkyl, formyl, haloformyl, carboxy, alkylcarbonyl, alkyloxycarbonyl, aminocarbonyl, mono- or dialkylaminocarbonyl, phenylalkyl, phenyl, nitro, amino, mono- or 20 dialkyl-amino, thio, alkylthio or SO2-CH3; halo is a substituent selected from the group of fluoro, chloro, bromo and iodo; polyhaloalkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms or a cyclic saturated 25 hydrocarbon radical having from 3 to 7carbon atoms, wherein one or more carbon atoms is substituted with one or more halo-atoms; Het is a heterocyclic radical selected from the group of Het1, Het2 and Het<sup>3</sup>; wherein each heterocyclic radical Het<sup>1</sup>, Het<sup>2</sup> and Het<sup>3</sup> may 30 optionally be substituted on a carbon and/or an heteroatom with halo, hydroxy, alkyloxy, alkyl, Ar, Ar-alkyl or pyridinyl. Het1 is an aliphatic monocyclic heterocyclic radical selected from the group of pyrrolidinyl, dioxolyl, imidazolidinyl, pyrrazolidinyl, piperidinyl, dioxyl, morpholinyl, dithianyl, thiomorpholinyl, 35 piperazinyl and tetrahydrofuranyl; Het2 is a semi-aromatic monocyclic heterocyclic radical selected from the group of 2H-pyrrolyl, pyrrolinyl, imidazolinyl and pyrrazolinyl;

5

 $\mathcal{G}(t) \geq$ 

- Het<sup>3</sup> is an aromatic monocyclic heterocyclic radical selected from the group of pyrrolyl, pyrazolyl, imidazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl and triazinyl; or an aromatic bicyclic heterocyclic radical selected from the group of quinolinyl, quinoxalinyl, indolyl, benzimidazolyl, benzoxazolyl, benzioxazolyl, benzothiazolyl, benziothiazolyl, benzofuranyl and benzothienyl.
- Use according to claim 1, characterized in that R<sup>1</sup> is selected from the group of alkyloxy, Ar-alkyloxy, alkyl, polyhaloalkyl, alkyloxyalkyl, Ar-alkyl, Hetalkyl, Ar, piperazinyl, pyrrolyl, thiazolyl, pyrrolidinyl and NR<sup>9</sup>R<sup>10</sup> wherein R<sup>9</sup> and R<sup>10</sup> each independently are hydrogen, alkyl, Ar, Ar-alkyl, pyridinyl or alkyloxycarbonylalkyl.
- 15 3. Use according to claim 1, characterized in that A=B and R<sup>1</sup> together form a radical selected from the group of Het<sup>2</sup> and Het<sup>3</sup>.
- Use according to claim 3, characterized in that A=B and R¹ together form a radical selected from the group of benzoxazolyl, thiazolyl, benzothiazolyl, benzimidazolyl and pyrimidinyl.
  - Use according to any one of claims 1-4, characterized in that X is a covalent bond.
- Use according to any one of claims 1-5, characterized in that R<sup>2</sup> is alkyloxy or halo.
- Use according to any one of claims 1-6, characterized in that R³ is selected from the group of phenylalkyl and naphthyl, each independently substituted with at least one substituent selected from the group of halo, alkyloxycarbonyl, hydroxy, alkyloxy and dialkylaminocarbonyl.
- Use according to claim 1, in which A=B is C=O or SO<sub>2</sub>, R<sup>1</sup> is alkyloxy, alkyloxyalkyl, Ar or NR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> each independently are hydrogen or Ar; or A=B and R<sup>1</sup> together form a benzoxazolyl radical; p is zero, R<sup>3</sup> is benzyl optionally substituted with hydroxy or alkyloxycarbonyl and R<sup>4</sup> and R<sup>5</sup> each are hydrogen.

35

3.0

Use according to claim 1, wherein the compound is selected from the group of 4-[[2-(1-benzoyl-4-phenyl-4-piperidinyl)-1H-imidazol-1-yl]methyl]methylbenzoate; 1-ethoxycarbonyl-4-phenyl-4-[1-(1-phenylethyl)-1H-imidazol-2-yl]piperidine; 4-[[2-[1-(2-benzoxazolyl)-4-phenyl-4-piperidinyl]-1H-imidazol-1yl]methyl]-methylbenzoate; 1-benzoyl-4-phenyl-4-[1-(phenylmethyl)-1H-imidazól-2-yl]-piperidine; 10  $\label{lem:condition} \hbox{$1$-benzoyl-4-phenyl-4-[1-(1-phenylethyl)-1$$H$-imidazol-2-yl]-piperidine}\;;$ N,4-diphenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]-1-piperidinesulfonamide; 1-ethoxycarbonyl-4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]-15 1-(methoxyacetyl)-4-phenyl-4-[1-(1-phenylethyl)-1H-imidazol-2-yl]piperidine;  $\hbox{\hbox{$[4-(1-Benzyl-1$$H$-imidazol-2-yl)-4-phenyl-piperidin-1-yl]-(3,5-dimethyl-piperidin-1-yl)$ phenyl)-methanone; 4-{2-[1-(2-Methoxy-acetyl)-4-phenyl-piperidin-4-yl]-imidazol-1-20 ylmethyl}-methylbenzoate; 4-(1-Benzyl-1H-imidazol-2-yl)-4-phenyl-1-thiazol-2-yl-piperidine; - 2-{4-Phenyl-4-{1-(1-phenyl-ethyl)-1H-imidazol-2-yl}-piperidin-1-yl}benzo-oxazole; 1-[4-(1-Benzyl-1H-imidazol-2-yl)-4-phenyl-piperidin-1-yl]-2-methoxy-25 ethanone; and 2-[4-(1-Benzyl-1H-imidazol-2-yl)-4-phenyl-piperidin-1-yl]-pyrimidine. 10. Use according to any one of claims 1-9, characterized in that the central nervous system disorder is selected from the group of mood disorders, 30 depressive disorders, anxiety disorders, stress-related disorders associated

 Use according to claim 10, characterized in that the central nervous system disorder is a depressive and/or anxiety disorder.

with depression and/or anxiety and eating disorders or a combination thereof.

12. Use according to any one of claims 1-11, characterized in that the compounds according to Formula (I), the pharmaceutically acceptable acid or base

addition salts thereof, the stereochemically isomeric forms thereof, the tautomeric forms thereof and the N-oxide forms thereof are co-administered with other agents, in particular antidepressant, antianxiety and/or antipsychotic agents.

5

13.

Use according to claim 12, in that the compounds according to Formula (I), the pharmaceutically acceptable acid or base addition salts thereof, the stereochemically isomeric forms thereof, the tautomeric forms thereof and the N-oxide forms thereof and the other agents may be present as a combined preparation for simultaneous, separate or sequential use.

10

Method of treating a human suffering from a central nervous system disorder, in particular a mood disorders, depressive disorders, anxiety disorders, stress-related disorders associated with depression and/or anxiety and eating disorders or any combination thereof, which comprises administering to the human in need of such a treatment a therapeutically effective amount of a compound according to Formula (I), the pharmaceutically acceptable acid or base addition salts thereof, the stereochemically isomeric forms thereof, the tautomeric forms thereof and the N-oxide forms thereof.

20